Search for prior art.

clear.

1/5/07

10/531,495Z Yong Chu 1-5-2007

$%^STN;HighlightOn=;HighlightOff=;

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

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NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 4	AUG 28	ADISCTI Reloaded and Enhanced
NEWS 5	AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 6	SEP 21	CA/CAplus fields enhanced with simultaneous left and right truncation
NEWS 7	SEP 25	CA(SM)/CAplus(SM) display of CA Lexicon enhanced
NEWS 8	SEP 25	CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 9	SEP 25	CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
NEWS 10	SEP 28	CEABA-VTB classification code fields reloaded with new classification scheme
NEWS 11	OCT 19	LOGOFF HOLD duration extended to 120 minutes
NEWS 12	OCT 19	E-mail format enhanced
NEWS 13	OCT 23	Option to turn off MARPAT highlighting enhancements available
NEWS 14	OCT 23	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 15	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 16	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 17	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 18	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 19	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 20	NOV 20	CAS Registry Number crossover limit increased to 300,000 in additional databases
NEWS 21	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 22	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 23	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 24	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 25	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 26	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 27	DEC 18	CA/CAplus patent kind codes updated
NEWS 28	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 29	DEC 18	MEDLINE updated in preparation for 2007 reload

NEWS 30 DEC 27 CA/CAplus enhanced with more pre-1907 records

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 15:00:45 ON 05 JAN 2007
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STRUCTURE FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1
DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

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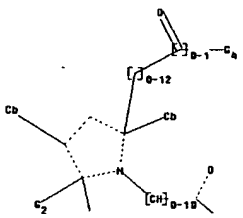
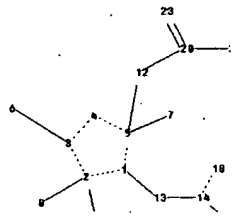
http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10531495\10531495X.str





chain nodes :
6 7 8 9 12 13 14 17 18 20 23 24
ring nodes :
1 2 3 4 5
chain bonds :
1-13 2-8 2-9 3-6 5-7 5-12 12-20 13-14 14-17 14-18 20-23 20-24
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-13 2-3 2-8 2-9 3-4 4-5 14-17 14-18 20-23 20-24
exact bonds :
3-6 5-7 5-12 12-20 13-14

G2:H,Ak

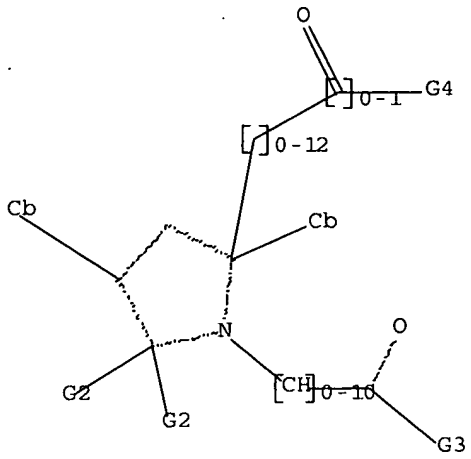
G3:C,N

G4:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 12:CLASS
13:CLASS 14:CLASS 17:CLASS 18:CLASS 20:CLASS 23:CLASS 24:CLASS
Generic attributes :
6:
Saturation : Unsaturated
7:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



G1
G2 H,Ak
G3 C,N
G4 O,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 15:01:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   136724 TO ITERATE

  1.5% PROCESSED     2000 ITERATIONS                                 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      2712637 TO  2756323
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 15:02:04 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  2733890 TO ITERATE

 23.8% PROCESSED   651366 ITERATIONS                               112 ANSWERS

 34.5% PROCESSED   942184 ITERATIONS                               473 ANSWERS

 36.4% PROCESSED   993987 ITERATIONS                               473 ANSWERS

 36.6% PROCESSED  1000000 ITERATIONS                               473 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.54

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      2733890 TO  2733890
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PROJECTED ANSWERS: 1186 TO 1400

L3 473 SEA SSS FUL L1

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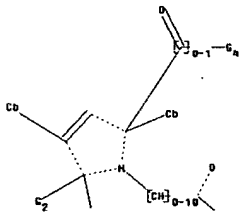
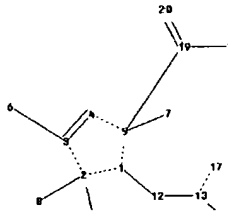




chain nodes :
6 7 8 9 12 13 16 17 19 20 21
ring nodes :
1 2 3 4 5
chain bonds :
1-12 2-8 2-9 3-6 5-7 5-19 12-13 13-16 13-17 19-21 19-20
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-12 2-3 2-8 2-9 3-4 4-5 13-16 13-17 19-21 19-20
exact bonds :
3-6 5-7 5-19 12-13

G2:H,Ak

G3:C,N

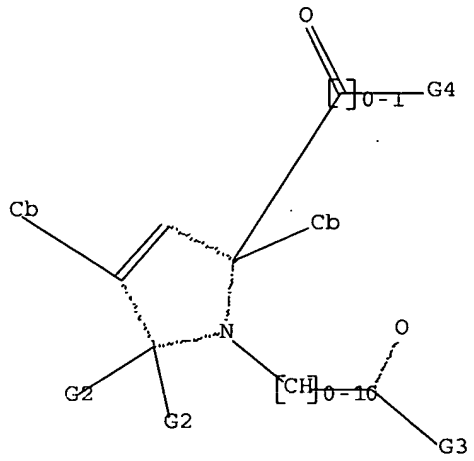
G4:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:CLASS 9:CLASS 12:CLASS
13:CLASS 16:CLASS 17:CLASS 19:CLASS 20:CLASS 21:CLASS
Generic attributes :
6:
Saturation : Unsaturated
7:
Saturation : Unsaturated

L4 STRUCTURE UPLOADED

=> d

L4 HAS NO ANSWERS
L4 STR



G1
G2 H,Ak
G3 C,N
G4 O,N

Structure attributes must be viewed using STN Express query preparation.

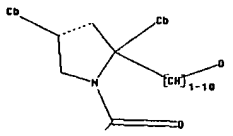
=> s l4
SAMPLE SEARCH INITIATED 15:04:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 99226 TO ITERATE

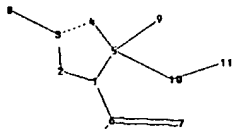
2.0% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1965831 TO 2003209
PROJECTED ANSWERS: 0 TO 0

L5 0 SEA SSS SAM L4

=>
Uploading C:\Documents and Settings\ychu\Desktop\Case\10531495\10531495AA-1.str





chain nodes :
6 7 8 9 10 11 14
ring nodes :
1 2 3 4 5
chain bonds :
1-6 3-8 5-9 5-10 6-7 6-14 10-11
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-14 10-11
exact bonds :
3-8 5-9 5-10

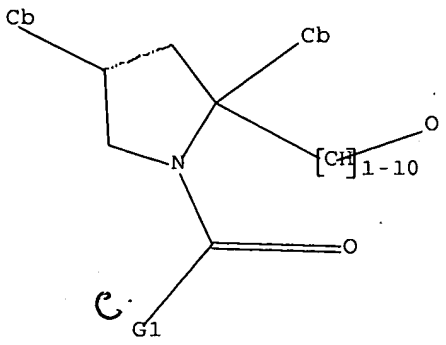
G1:C,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS 11:CLASS 14:CLASS
Generic attributes :
8:
Saturation : Unsaturated
9:
Saturation : Unsaturated

L6 STRUCTURE UPLOADED

=> d
L6 HAS NO ANSWERS
L6 STR

10/531495



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

```
=> s l6
SAMPLE SEARCH INITIATED 15:12:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     24121 TO ITERATE

  8.3% PROCESSED      2000 ITERATIONS                                 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE   **COMPLETE**
                        BATCH    **COMPLETE**
PROJECTED ITERATIONS:       473127 TO   491713
PROJECTED ANSWERS:             33 TO      449

L7             1 SEA SSS SAM L6

=> s l6 full
FULL SEARCH INITIATED 15:12:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    483375 TO ITERATE

 98.7% PROCESSED    477221 ITERATIONS                               342 ANSWERS

100.0% PROCESSED    483375 ITERATIONS                               342 ANSWERS
SEARCH TIME: 00.00.22

L8           342 SEA SSS FUL L6

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              352.75     352.96

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FILE LAST UPDATED: 4 Jan 2007 (20070104/ED)

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=> s l8
L9 7 L8

=> d ibib abs tot

L9 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1009710 CAPLUS Full-text
DOCUMENT NUMBER: 145:377211
TITLE: Preparation of 2,5-dihydropyrrole compound containing piperidine moiety as mitotic kinesin inhibitor
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101780	A1	20060928	WO 2006-US8674	20060310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-662519P P 20050316
OTHER SOURCE(S): MARPAT 145:377211
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [p = 0-3; q = 0-2; R1 = aryl, heterocyclyl, alkyl, etc.; said aryl, heterocyclyl and alkyl is optionally substituted with halo, CN, OH, etc.; R2 = halo, CN, OH, etc.; R3 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R5 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R6 = H, halo, CN, etc.; W = bond, C:O, C:S, etc.; provided that at least one silicon atom is present in the compd., and further provided that -W-R5 is not -alkyl-O-Si(alkyl)3.], pharmaceutically acceptable salts or stereoisomers thereof were prepd. For example, Pd/C catalyzed de-benzyloxycarbonylation of compd. II [R = tert-butyldimethylsilyl; R' = benzyloxycarbonyl], e.g., prepd. from benzyl 4-oxo-1-piperidinecarboxylate in 7 steps, followed by treatment with trifluoroacetic acid and reaction with 3-chloropropyltrimethylsilane afforded compd. II [R = H; R' = 3-trimethylsilylpropyl]. In kinesin ATPase in vitro assays, compd. II [R = H; R' = 3-trimethylsilylpropyl] exhibited the IC50 value of .ltoreq.50 .mu.M. Compds. I are claimed useful for the treatment of brain cancer, stomach cancer, etc.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1171050 CAPLUS Full-text

DOCUMENT NUMBER: 143:440255

TITLE: A process for the preparation of 2,2-disubstituted pyrroles

INVENTOR(S): Javadi, Gary; Karady, Sandor; Maeda, Kenji; Miller, Ross A.; Szumigala, Ronald H.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

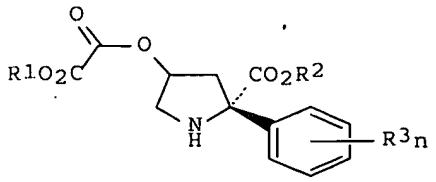
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005102996	A2	20051103	WO 2005-US13630		20050415
WO 2005102996	A3	20060119			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005236066	A1	20051103	AU 2005-236066		20050415

PRIORITY APPLN. INFO.:

US 2004-563583P	P	20040419
WO 2005-US13630	W	20050415

OTHER SOURCE(S): MARPAT 143:440255

GI



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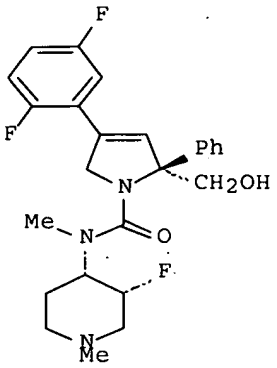
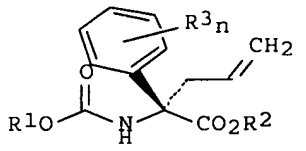




II

III

AB A process for the prepn. of title compds. of formula I [R1, R2 = independently (un)substituted (cyclo)alkyl, aryl or heterocyclyl; R3 = H, halo, cyano, hydroxy, etc.; n = 1 or 2] comprising reacting a compd. of formula II (R1-R3 and n are defined as above) with a halogenating agent in an aq. solvent is disclosed. For example, III was provided in a multi-step synthesis starting from (R)-2-phenylglycine. The crystal structure of (3R,4S)-3-fluoro-N,1-dimethylpiperidin-4-amine.bul.2HCl was also obtained. I are useful as intermediates in the prepn. of 2,2,4-trisubstituted 2,5-dihydropyrroles, that are inhibitors of mitotic kinesin (no data).

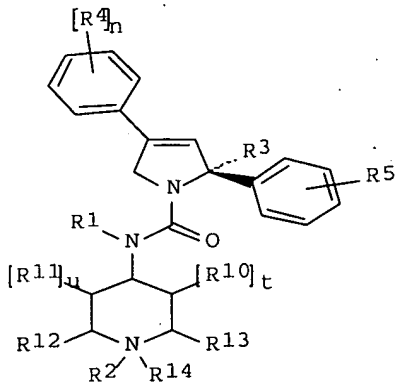
L9 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:182653 CAPLUS Full-text
DOCUMENT NUMBER: 142:280064
TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019206	A1	20050303	WO 2004-US26012	20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005043357	A1	20050224	US 2004-915743	20040811
AU 2004266232	A1	20050303	AU 2004-266232	20040811

CA 2534065	A1	20050303	CA 2004-2534065		20040811
EP 1664026	A1	20060607	EP 2004-780791		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1839128	A	20060927	CN 2004-80023309		20040811
BR 2004013580	A	20061017	BR 2004-13580		20040811
US 2006234984	A1	20061019	US 2006-567676		20060209
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2004-563580P	P	20040419
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811
			WO 2004-US26012	W	20040811

OTHER SOURCE(S): MARPAT 142:280064

GI



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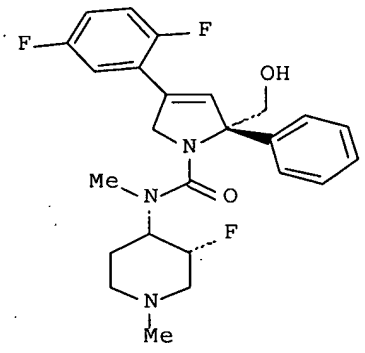

II

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10, R11 = F, CH2F; R12, R13 = H, CH2F; R14 = absent, oxo; n = 0-3; t = 0-2; u = 0-1] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of II, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:177831 CAPLUS Full-text

DOCUMENT NUMBER: 142:280071

TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018547	A2	20050303	WO 2004-US25964	20040811
WO 2005018547	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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AU 2004266629	A1	20050303	AU 2004-266629	20040811
CA 2533889	A1	20050303	CA 2004-2533889	20040811
EP 1656146	A2	20060517	EP 2004-780749	20040811
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CN 1835756	A	20060920	CN 2004-80023307	20040811
PRIORITY APPLN. INFO.:			US 2003-495735P P	20030815
			WO 2004-US25964 W	20040811

OTHER SOURCE(S): MARPAT 142:280071
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10 = H, F; R11, R12 = F, CH2F; R13, R14 = H, CH2F; R15 = absent, oxo; n = 0-3; t, u = 0-2] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of a mixt. of II and III, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. Over 260 compds. I were claimed. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

L9 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158826 CAPLUS Full-text
DOCUMENT NUMBER: 142:261392
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005017190	A2	20050224	WO 2004-US26242		20040811
WO 2005017190	A3	20051215			
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AU 2004264533	A1	20050224	AU 2004-264533		20040811
CA 2534729	A1	20050224	CA 2004-2534729		20040811
EP 1656133	A2	20060517	EP 2004-780997		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1835746	A	20060920	CN 2004-80023308		20040811
US 2006287302	A1	20061221	US 2006-568000		20060210
PRIORITY APPLN. INFO.:			US 2003-495466P	P	20030815
			WO 2004-US26242	W	20040811

OTHER SOURCE(S): MARPAT 142:261392

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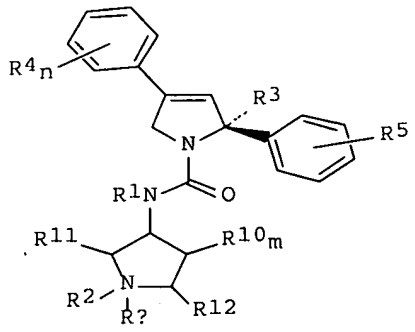

I

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl(hydroxy), alkenyloxyalkyl, etc.; R4 = independently (carbonyl)(oxy)alkyl, carboxy, OH, etc.; R5 = H, halo, CN, etc.; R10 = F or CH2F; R11, R12 = independently H or CH2F; Rx = absent or oxo; m = 0-2; n = 0-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. as mitotic kinesin inhibitors (no data). For example, I (R1 = R2 = Me, R3 = CH2OH, R4 = 2,4-F2, R5 = R10 = R12 = H, R11 = F, Rx = absent, n = 0) was given in a multi-step synthesis starting from .alpha.-allyl-.alpha.-phenylglycine Et ester. The title compds. and their pharmaceutical compns. are useful as mitotic kinesin inhibitors, esp. KSP kinesin inhibitors, for the treatment of cellular proliferative diseases and disorders assocd. with KSP kinesin activity, such as cancer in mammals (no data).

L9 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:140806 CAPLUS Full-text
DOCUMENT NUMBER: 142:240324
TITLE: A preparation of pyrrolecarboxamide derivatives, useful as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005038074	A1	20050217	US 2004-916096		20040811
WO 2005019205	A1	20050303	WO 2004-US25980		20040811
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BR 2004013580	A	20061017	BR 2004-13580		20040811
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811

OTHER SOURCE(S): CASREACT 142:240324; MARPAT 142:240324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of pyrrolecarboxamide derivs. of formula I [wherein: R1 is H, alkyl, aryl, or heterocyclyl, etc.; R2 is 4-piperidinyl deriv.; R3 is H, alkyl, alkdiyl-OH, alkdiyl-O-alkyl, or alk(en/yn)diyl-C(O)-NH2, etc.; R4 is CO2H, halogen, CN, or OH, etc.; R5 is H, CO2H, CN, halogen, or OP(:O)(OH)2, etc.], useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. For instance, pyrrolecarboxamide deriv. II (kinesin ATPase in vitro assay: IC50 < 50 .mu.M) was prepd. via amidation of carbamoyl chloride III by amine IV (conversion of III to the product was >98%).

L9 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:368866 CAPLUS Full-text
DOCUMENT NUMBER: 140:391193
TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037171	A2	20040506	WO 2003-US32405	20031014
WO 2004037171	A3	20040708		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2500848	A1	20040506	CA 2003-2500848	20031014
AU 2003287057	A1	20040513	AU 2003-287057	20031014
EP 1556052	A2	20050727	EP 2003-777578	20031014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006506456	T	20060223	JP 2005-501618	20031014
US 2006100191	A1	20060511	US 2005-531495	20050415
PRIORITY APPLN. INFO.:			US 2002-419570P P	20021018
			US 2003-479712P P	20030619
			WO 2003-US32405 W	20031014

OTHER SOURCE(S): MARPAT 140:391193
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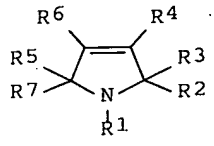

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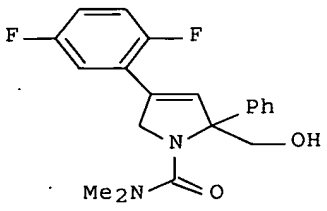

II

AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.;

R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol- 3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	32.97	385.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.46	-5.46

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DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

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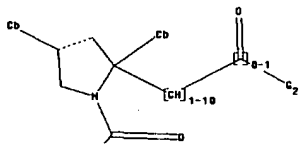

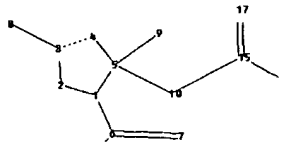

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ring nodes :
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chain bonds :
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ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-13 15-16 15-17
exact bonds :
3-8 5-9 5-10 10-15

G1:C,N

G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS
13:CLASS 15:CLASS 16:CLASS 17:CLASS
Generic attributes :
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Saturation : Unsaturated
9:
Saturation : Unsaturated

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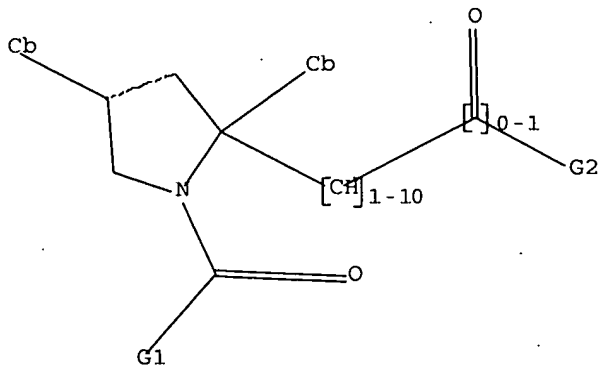
L10 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

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  7.6% PROCESSED     2000 ITERATIONS                                3 ANSWERS
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                                                 ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -5.46

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L13 7 L12

=> d ibib abs tot

L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1009710 CAPLUS Full-text
DOCUMENT NUMBER: 145:377211
TITLE: Preparation of 2,5-dihydropyrrole compound containing piperidine moiety as mitotic kinesin inhibitor
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006101780	A1	20060928	WO 2006-US8674	20060310

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.: US 2005-662519P P 20050316
OTHER SOURCE(S): MARPAT 145:377211
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [p = 0-3; q = 0-2; R1 = aryl, heterocyclyl, alkyl, etc.; said aryl, heterocyclyl and alkyl is optionally substituted with halo, CN, OH, etc.; R2 = halo, CN, OH, etc.; R3 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R5 = H, alkyl, aryl, etc.; said alkyl and aryl is optionally substituted with halo, CN, OH, etc.; R6 = H, halo, CN, etc.; W = bond, C:O, C:S, etc.; provided that at least one silicon atom is present in the compd., and further provided that -W-R5 is not -alkyl-O-Si(alkyl)3.], pharmaceutically acceptable salts or stereoisomers thereof were prepd. For example, Pd/C catalyzed de-benzyloxycarbonylation of compd. II [R = tert-butyldimethylsilyl; R' = benzyloxycarbonyl], e.g., prepd. from benzyl 4-oxo-1-piperidinecarboxylate in 7 steps, followed by treatment with trifluoroacetic acid and reaction with 3-chloropropyltrimethylsilane afforded compd. II [R = H; R' = 3-trimethylsilylpropyl]. In kinesin ATPase in vitro assays, compd. II [R = H; R' = 3-trimethylsilylpropyl] exhibited the IC50 value of .ltoreq.50 .mu.M. Compds. I are claimed useful for the treatment of brain cancer, stomach cancer, etc.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1171050 CAPLUS Full-text
DOCUMENT NUMBER: 143:440255
TITLE: A process for the preparation of 2,2-disubstituted pyrroles
INVENTOR(S): Javadi, Gary; Karady, Sandor; Maeda, Kenji; Miller, Ross A.; Szumigala, Ronald H.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005102996	A2	20051103	WO 2005-US13630		20050415
WO 2005102996	A3	20060119			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2005236066	A1	20051103	AU 2005-236066		20050415
PRIORITY APPLN. INFO.:			US 2004-563583P	P	20040419
			WO 2005-US13630	W	20050415

OTHER SOURCE(S): MARPAT 143:440255

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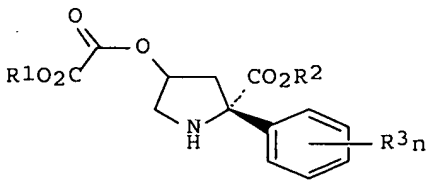

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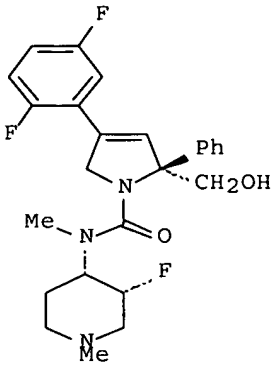

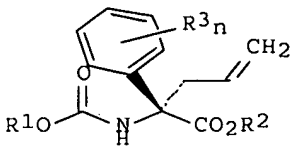

II

III

AB A process for the prepn. of title compds. of formula I [R1, R2 = independently (un)substituted (cyclo)alkyl, aryl or heterocyclyl;R3 = H, halo, cyano, hydroxy, etc.; n = 1 or 2] comprising reacting a compd. of formula II (R1-R3 and n are defined as above) with a halogenating agent in an aq. solvent is disclosed. For example, III was provided in a multi-step synthesis starting from (R)-2-phenylglycine. The crystal structure of (3R,4S)-3-fluoro-N,1-dimethylpiperidin-4-amine.bul.2HCl was also obtained. I are useful as intermediates in the prepn. of 2,2,4-trisubstituted 2,5-dihydropyrroles, that are inhibitors of mitotic kinesin (no data).

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:182653 CAPLUS Full-text
DOCUMENT NUMBER: 142:280064
TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019206	A1	20050303	WO 2004-US26012	20040811

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG

US 2005043357	A1	20050224	US 2004-915743		20040811
AU 2004266232	A1	20050303	AU 2004-266232		20040811
CA 2534065	A1	20050303	CA 2004-2534065		20040811
EP 1664026	A1	20060607	EP 2004-780791		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1839128	A	20060927	CN 2004-80023309		20040811
BR 2004013580	A	20061017	BR 2004-13580		20040811
US 2006234984	A1	20061019	US 2006-567676		20060209
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2004-563580P	P	20040419
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811
			WO 2004-US26012	W	20040811

OTHER SOURCE(S): MARPAT 142:280064

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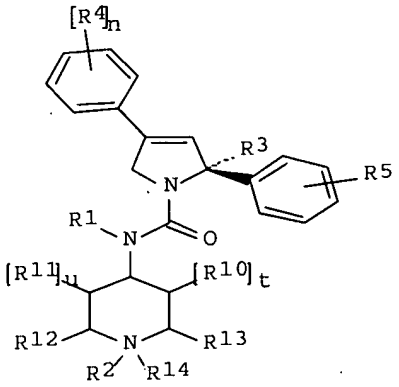

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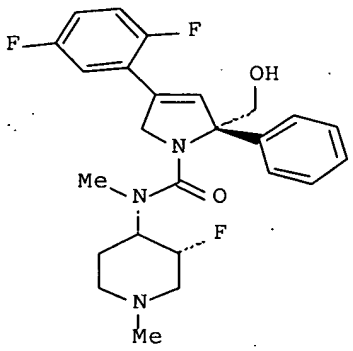

II

AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10, R11 = F, CH2F; R12, R13 = H, CH2F; R14 = absent, oxo; n = 0-3; t = 0-2; u = 0-1] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of II, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:177831 CAPLUS Full-text

DOCUMENT NUMBER: 142:280071

TITLE: Preparation of dihydropyrrolecarboxamides as mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 177 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018547	A2	20050303	WO 2004-US25964	20040811
WO 2005018547	A3	20050915		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004266629	A1	20050303	AU 2004-266629	20040811
CA 2533889	A1	20050303	CA 2004-2533889	20040811
EP 1656146	A2	20060517	EP 2004-780749	20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1835756	A	20060920	CN 2004-80023307	20040811

PRIORITY APPLN. INFO.: US 2003-495735P P 20030815
WO 2004-US25964 W 20040811

OTHER SOURCE(S): MARPAT 142:280071
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

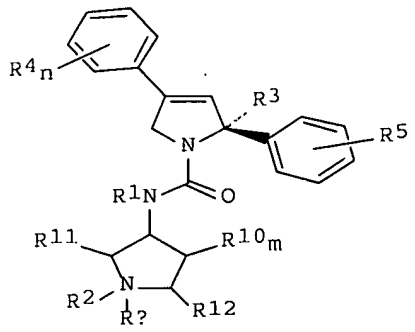
AB The present invention relates to dihydropyrrole compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 = H, alkyl, CH2OH, etc.; R4 = CO2H, halo, CN, etc.; R5 = H, halo, CN, etc.; R10 = H, F; R11, R12 = F, CH2F; R13, R14 = H, CH2F; R15 = absent, oxo; n = 0-3; t, u = 0-2] that are useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. E.g., a multi-step synthesis of a mixt. of II and III, which showed an IC50 of .ltoreq. 50 .mu.M in kinesin ATPase in vitro assay, was given. Over 260 compds. I were claimed. The invention is also related to compns. which comprise these compds. I, and methods of using them to treat cancer in mammals.

L13 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:158826 CAPLUS Full-text
DOCUMENT NUMBER: 142:261392
TITLE: Preparation of pyrrole derivatives as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005017190	A2	20050224	WO 2004-US26242		20040811
WO 2005017190	A3	20051215			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004264533	A1	20050224	AU 2004-264533		20040811
CA 2534729	A1	20050224	CA 2004-2534729		20040811
EP 1656133	A2	20060517	EP 2004-780997		20040811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
CN 1835746	A	20060920	CN 2004-80023308		20040811
US 2006287302	A1	20061221	US 2006-568000		20060210
PRIORITY APPLN. INFO.:			US 2003-495466P	P	20030815
			WO 2004-US26242	W	20040811

OTHER SOURCE(S): MARPAT 142:261392
GI



I

AB Title compds. represented by the formula I [wherein R1, R2 = independently H, (un)substituted (cyclo)alkyl, aryl, heterocyclyl; R3 = H, alkyl(hydroxy), alkenyloxyalkyl, etc.; R4 = independently (carbonyl)(oxy)alkyl, carboxy, OH, etc.; R5 = H, halo, CN, etc.; R10 = F or CH2F; R11, R12 = independently H or CH2F; Rx = absent or oxo; m = 0-2; n = 0-3; and pharmaceutically acceptable salts or stereoisomers thereof] were prepd. as mitotic kinesin inhibitors (no data). For example, I (R1 = R2 = Me, R3 = CH2OH, R4 = 2,4-F2, R5 = R10 = R12 = H, R11 = F, Rx = absent, n = 0) was given in a multi-step synthesis starting from .alpha.-allyl-.alpha.-phenylglycine Et ester. The title compds. and their pharmaceutical compns. are useful as mitotic kinesin inhibitors, esp.

KSP kinesin inhibitors, for the treatment of cellular proliferative diseases and disorders assocd. with KSP kinesin activity, such as cancer in mammals (no data).

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:140806 CAPLUS Full-text
DOCUMENT NUMBER: 142:240324
TITLE: A preparation of pyrrolecarboxamide derivatives, useful as mitotic kinesin inhibitors
INVENTOR(S): Coleman, Paul J.; Cox, Christopher D.; Garbaccio, Robert M.; Hartman, George D.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2005038074	A1	20050217	US 2004-916096		20040811
WO 2005019205	A1	20050303	WO 2004-US25980		20040811
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
BR 2004013580	A	20061017	BR 2004-13580		20040811
NO 2006001194	A	20060505	NO 2006-1194		20060314
PRIORITY APPLN. INFO.:			US 2003-495637P	P	20030815
			US 2003-512680P	P	20031020
			US 2004-563586P	P	20040419
			WO 2004-US25980	W	20040811

OTHER SOURCE(S): CASREACT 142:240324; MARPAT 142:240324
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a prepn. of pyrrolecarboxamide derivs. of formula I [wherein: R1 is H, alkyl, aryl, or heterocyclyl, etc.; R2 is 4-piperidinyl deriv.; R3 is H, alkyl, alkdiyl-OH, alkdiyl-O-alkyl, or alk(en/yn)diyl-C(O)-NH2, etc.; R4 is CO2H, halogen, CN, or OH, etc.; R5 is H, CO2H, CN, halogen, or OP(:O)(OH)2, etc.], useful for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. For instance, pyrrolecarboxamide deriv. II (kinesin ATPase in vitro assay: IC50 < 50 .mu.M) was prepd. via amidation of carbamoyl chloride III by amine IV (conversion of III to the product was >98%).

Current appl

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:368866 CAPLUS Full-text
DOCUMENT NUMBER: 140:391193
TITLE: Preparation of dihydropyrroles as mitotic kinesin inhibitors for treating cellular proliferative diseases
INVENTOR(S): Breslin, Michael J.; Coleman, Paul J.; Cox, Christopher D.; Hartman, George D.; Mariano, Brenda J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004037171	A2	20040506	WO 2003-US32405		20031014
WO 2004037171	A3	20040708			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
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CA 2500848	A1	20040506	CA 2003-2500848		20031014
AU 2003287057	A1	20040513	AU 2003-287057		20031014
EP 1556052	A2	20050727	EP 2003-777578		20031014
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JP 2006506456	T	20060223	JP 2005-501618		20031014
US 2006100191	A1	20060511	US 2005-531495		20050415
PRIORITY APPLN. INFO.:			US 2002-419570P	P	20021018
			US 2003-479712P	P	20030619
			WO 2003-US32405	W	20031014

OTHER SOURCE(S): MARPAT 140:391193
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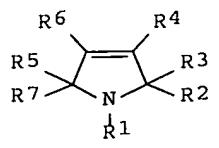

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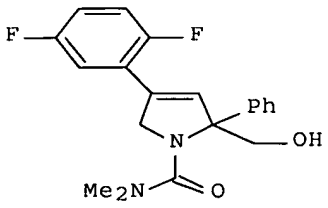


II

AB Title compds. I [wherein R1 = (un)substituted acyl(alkyl), carbamoyl(alkyl), sulfamoyl(alkyl), aryl, heterocyclyl, alkyl, etc.; R2 and R6 = independently (un)substituted aryl(alkyl), cycloalkyl, or heterocyclyl; R3 = (un)substituted alkoxyalk(en/yn)yl, carbamoylalk(en/yn)yl, alkylsulfonylalk(en/yn)yl, etc.; R4, R5, and R7 = independently H or (un)substituted (cyclo)alkyl, alkenyl, alkynyl, perfluoroalkyl, arylalkyl, or heterocyclyl; or R5 and R7 are combined to form an oxo or sulfoxo; or pharmaceutically acceptable salt of stereoisomer thereof] were prepd. for treating cellular proliferative diseases, for treating disorders assocd. with KSP kinesin activity, and for inhibiting KSP kinesin. The invention is also related to compns. which comprise these compds., and methods of using them to treat cancer (no data). For instance, palladium catalyzed Suzuki coupling of 7a-phenyldihydro-1H-pyrrolo[1,2-c][1,3]oxazole-3,6(5H)-dione (multi-step prepn. given) and 2,5-difluorophenylboronic acid afforded 6-(2,5-difluorophenyl)-7a-phenyl-5,7a-dihydro-1H-pyrrolo[1,2-c][1,3]oxazol- 3-one. The pyrrolooxazolone was treated with NaOH in EtOH to give the (hydroxymethyl)pyrrole, which was O-protected with tert-butyldimethylsilyl chloride. Reaction of the pyrrole with triphosgene and dimethylamine, followed by deprotection using triethylamine trihydrofluoride in MeCN provided II. In a kinesin ATPase assay using a human KSP motor domain construct and microtubules from bovine brain tubulin, example compds. inhibited the ATPase hydrolysis reaction with IC50 .ltoreq. 50 .mu.M.

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	24.04	582.52

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-5.46	-10.92

FILE 'REGISTRY' ENTERED AT 15:37:07 ON 05 JAN 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1
DICTIONARY FILE UPDATES: 4 JAN 2007 HIGHEST RN 916790-89-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

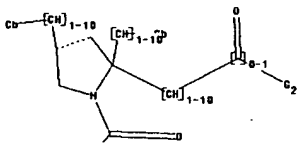
Please note that search-term pricing does apply when conducting SmartSELECT searches.

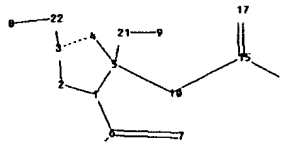
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

Uploading C:\Documents and Settings\ychu\Desktop\Case\10531495\10531495AA-3.str





chain nodes :
6 7 8 9 10 13 15 16 17 21 22
ring nodes :
1 2 3 4 5
chain bonds :
1-6 3-22 5-10 5-21 6-7 6-13 8-22 9-21 10-15 15-16 15-17
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-13 15-16 15-17
exact bonds :
3-22 5-10 5-21 8-22 9-21 10-15

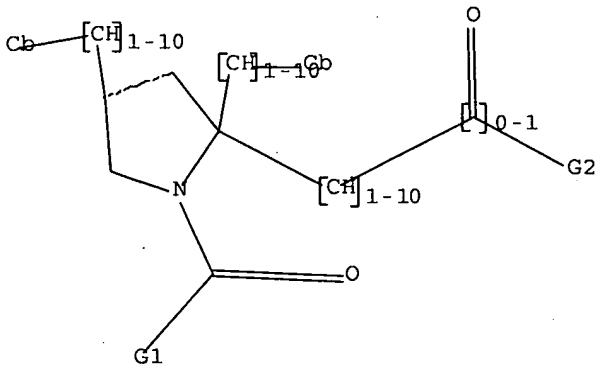
G1:C,N

G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:Atom 9:Atom 10:CLASS
13:CLASS 15:CLASS 16:CLASS 17:CLASS 21:CLASS 22:CLASS
Generic attributes :
8:
Saturation : Unsaturated
9:
Saturation : Unsaturated

L14 STRUCTURE UPLOADED

=> d
L14 HAS NO ANSWERS
L14 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l14
SAMPLE SEARCH INITIATED 15:37:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 901 TO ITERATE

100.0% PROCESSED 901 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16220 TO 19820
PROJECTED ANSWERS: 0 TO 0

L15 0 SEA SSS SAM L14

=> s l14 full
FULL SEARCH INITIATED 15:38:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17532 TO ITERATE

100.0% PROCESSED 17532 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L16 0 SEA SSS FUL L14

=>
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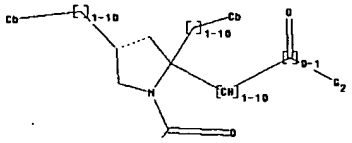
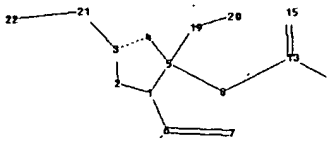




chain nodes :
6 7 8 11 13 14 15 19 20 21 22
ring nodes :
1 2 3 4 5
chain bonds :
1-6 3-21 5-8 5-19 6-7 6-11 8-13 13-14 13-15 19-20 21-22
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-6 2-3 3-4 4-5 6-7 6-11 13-14 13-15
exact bonds :
3-21 5-8 5-19 8-13 19-20 21-22

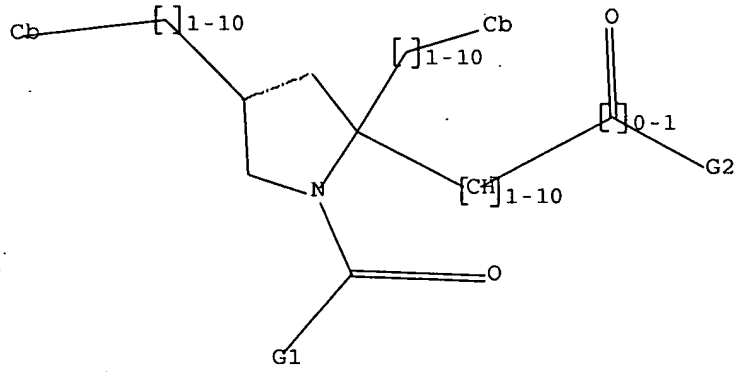
G1:C,N

G2:O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 11:CLASS
13:CLASS
14:CLASS 15:CLASS 19:CLASS 20:Atom 21:CLASS 22:Atom

L17 STRUCTURE UPLOADED

=> d
L17 HAS NO ANSWERS
L17 STR



G1 C,N
G2 O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l17
SAMPLE SEARCH INITIATED 15:40:16 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 901 TO ITERATE

100.0% PROCESSED 901 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16220 TO 19820
PROJECTED ANSWERS: 0 TO 0

L18 0 SEA SSS SAM L17

=> s l17 full
FULL SEARCH INITIATED 15:40:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17532 TO ITERATE

100.0% PROCESSED 17532 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L19 0 SEA SSS FUL L17

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST	346.45	928.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-10.92

STN INTERNATIONAL LOGOFF AT 15:41:13 ON 05 JAN 2007